

534,290
Translation

PATENT COOPERATION TREATY

PCT/JP2003/014065



PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference Y0360-PCT	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/JP2003/014065	International filing date (day/month/year) 04 November 2003 (04.11.2003)	Priority date (day/month/year) 07 November 2002 (07.11.2002)
International Patent Classification (IPC) or national classification and IPC A61K 31/426, A61P 13/10, 13/00 // C07D 277/40		
Applicant YAMANOUCHI PHARMACEUTICAL CO., LTD.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
 - a. ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:
 - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
 - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
 - b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

- ☒ Box No. I Basis of the report
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand 24 February 2004 (24.02.2004)	Date of completion of this report 26 May 2004 (26.05.2004)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2003/014065

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on (replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):

- ☒ The international application as originally filed/furnished
- ☐ the description:
- pages _____, as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the claims:
- pages _____, as originally filed/furnished
- pages* _____, as amended (together with any statement) under Article 19
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the drawings:
- pages _____, as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (specify): _____
- ☐ any table(s) related to sequence listing (specify): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (specify): _____
- ☐ any table(s) related to sequence listing (specify): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP 03/14065

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims	1-10	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO

2. Citations and explanations

- Document 1: GB 2356197 A (Merck & Co., Inc.), 16 May 2001
- Document 2: WO 99/20607 A1 (Yamanouchi Pharmaceutical Co., Ltd.), 29 April 1999
- Document 3: WO 02/000622 A2 (Fujisawa Pharmaceutical Co., Ltd.), 3 January 2002
- Document 4: WO 01/062705 A2 (Fujisawa Pharmaceutical Co., Ltd.), 30 August 2001
- Document 5: JP 2001-114736 A (Kissei Pharmaceutical Co., Ltd.), 24 April 2001
- Document 6: WO 00/002846 A1 (Kissei Pharmaceutical Co., Ltd.), 20 January 2000
- Document 7: Takashi Mori et al., "Boukou oyobi genyoudou katsuyakukin ni okeru β_3 juyoutai no sonzai to sono kinou", Nihon Hinyokika Gakkai Zasshi, 88 (2), p. 119 (p. 183) (1997)
- Document 8: Yasuhiko Igawa et al., "Hito no hainyoukin ni okeru β_3 -adrenaline juyoutai kinou no yakurigagu-teki oyobi bunshi seibutsugaku-teki kaimei", Nihon Hinyokika Gakkai Zasshi, 88 (2), p. 119 (p. 183) (1997)

Documents 1 and 2 disclose the fact that compounds which are active ingredients in the inventions in the present international application are β_3 adrenoreceptor

agonists.

Documents 3 and 4 disclose the activity of β_3 adrenoreceptor agonists against urinary incontinence and against urinary frequency (disclosure of the invention).

Documents 5 and 6 disclose the existence of β_3 adrenoreceptors in the human urinary bladder, the fact that the human urinary bladder is relaxed by β_3 adrenoreceptor stimulants, and the fact that β_3 adrenoreceptor stimulants have been discovered to be useful as prophylactic or therapeutic agents for urinary frequency and incontinence, etc. (document 5, paragraph [0007]; document 6, background art).

Documents 7 and 8 disclose the existence of β_3 adrenoreceptors in the human urinary bladder, and the fact that β_3 adrenoreceptor agonists would probably have a relaxing effect on the urinary bladder and are effective for incontinence.

Claims 1-10

The inventions set forth in claims 1-10 involve an inventive step relative to documents 1-8, cited in the international search report.

The present application relates to "therapeutic agents for an overactive bladder"; however, in this there is no substantial difference from "therapeutic agents for incontinence" or "therapeutic agents for urinary frequency", etc. As regards this feature, the use of such compounds as "therapeutic agents for an overactive bladder" would not in itself require creative skill, since it is known that β_3 adrenoreceptor agonists have a relaxing effect on the urinary bladder, and can be used for their activity against incontinence and against urinary frequency, etc. (documents 3-8) However, although it is clear from documents 1 and 2 that (R)-2-(2-aminothiazole-4'-[2-[(2-hydroxy-2-phenylethyl)amino]ethyl])-

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP 03/14065

acetic acid anilide (free or as a salt, which the active ingredient in the present application) is a β_3 adreno-receptor agonist, the fact that the compound in question exhibits an activity at least 270 times that of the typical β_3 adrenoreceptor agonist CGP-12177A indicates that "therapeutic agents for an overactive bladder" containing such an ingredient offer an effect that is greater than could be predicted from the state of the prior art.